

```

chain nodes :
6 13 14 25
ring nodes :
1 2 3 4 5 7 8 9 10 11 12 15 16 17 18 19 20
chain bonds :
4-6 5-13 6-7 13-14 14-15 14-25
ring bonds :
1-2 1-5 2-3 3-4 4-5 7-8 7-12 8-9 9-10 10-11 11-12 15-16 15-20 16-17
17-18 18-19 19-20
exact/norm bonds :
1-2 1-5 2-3 3-4 4-5 4-6 5-13 6-7 13-14 14-15 14-25 15-16 15-20 16-17
17-18 18-19 19-20
normalized bonds :
7-8 7-12 8-9 9-10 10-11 11-12
isolated ring systems :
containing 1 : 7 :

```

G1:C,N

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:CLASS 14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 25:CLASS

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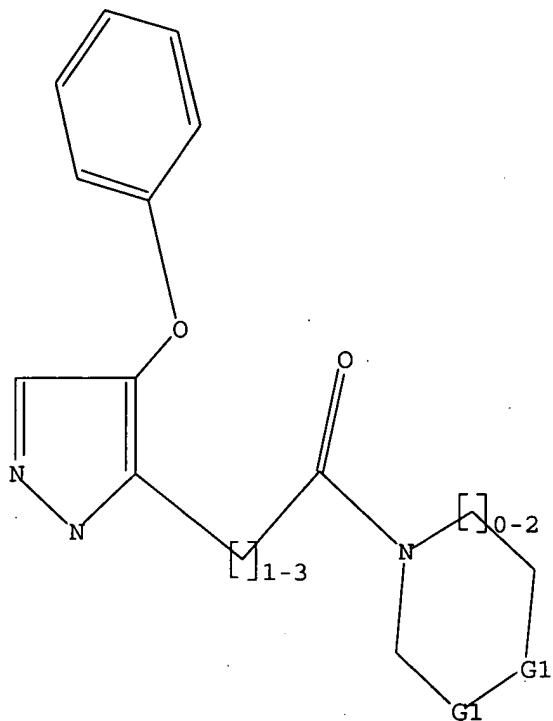
L1 STRUCTURE UPLOADED

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=> d
L1 HAS NO ANSWERS
L1 STR

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10669794 3/20/06



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 11:21:42 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS
SEARCH TIME: 00.00.01

0 ANSWERS

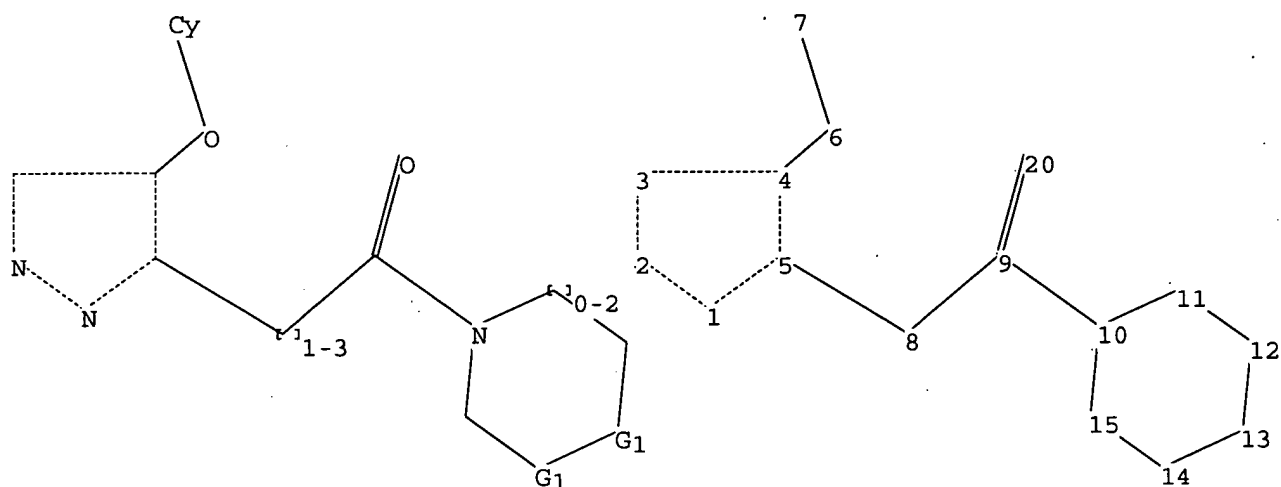
FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=>

Uploading C:\Program Files\Stnexp\Queries\106697941.str



chain nodes :

6 7 8 9 20

ring nodes :

1 2 3 4 5 10 11 12 13 14 15

chain bonds :

4-6 5-8 6-7 8-9 9-10 9-20

ring bonds :

1-2 1-5 2-3 3-4 4-5 10-11 10-15 11-12 12-13 13-14 14-15

exact/norm bonds :

1-2 1-5 2-3 3-4 4-5 4-6 5-8 6-7 8-9 9-10 9-20 10-11 10-15 11-12 12-13
13-14 14-15

isolated ring systems :

containing 1 : 7 :

G1 : C, N

Match level :

```
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:Atom 8:CLASS 9:CLASS 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 20:CLASS
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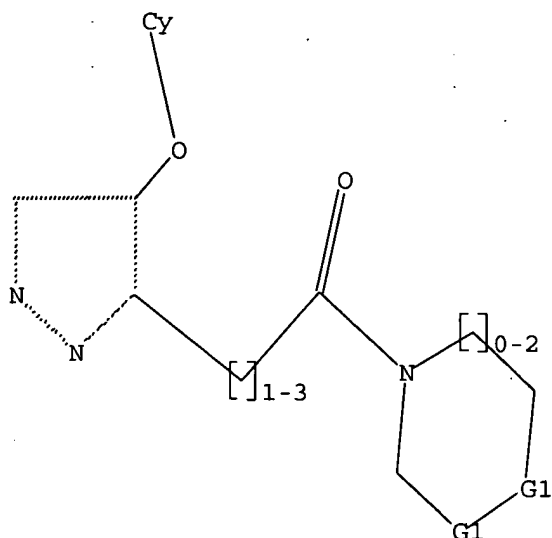
L3 STRUCTURE UPLOADED

$$\Rightarrow d$$

L3 HAS NO ANSWERS

L3	STR
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10669794 3/20/06



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s 13

SAMPLE SEARCH INITIATED 11:26:35 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 0 TO ITERATE

100.0% PROCESSED 0 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 0 TO 0

PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> s 14 full

FULL SEARCH INITIATED 11:28:53 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 23 TO ITERATE

100.0% PROCESSED 23 ITERATIONS

20 ANSWERS

SEARCH TIME: 00.00.01

L5 20 SEA SSS FUL L3

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

172.22

172.43

FILE 'CAPLUS' ENTERED AT 11:28:59 ON 01 APR 2006

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10669794 3/20/06

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FILE LAST UPDATED: 31 Mar 2006 (20060331/ED)

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<http://www.cas.org/infopolicy.html>

=> s 15

L6 2 L5

=> d ibib abs hitstr tot

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

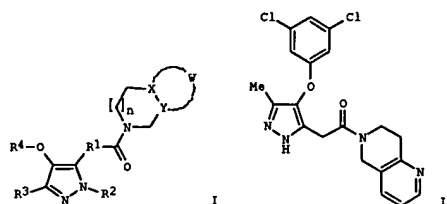
ACCESSION NUMBER:
DOCUMENT NUMBER:2004:292024 CAPLUS
140:303665TITLE:
Preparation of pyrazole amides for treating HIV infectionsINVENTOR(S):
Jones, Lyn Howard; Mowbray, Charles Eric; Price, David
Anthony; Selby, Matthew Duncan; Stuppel, Paul AnthonyPATENT ASSIGNEE(S):
Pfizer Limited, UK; Pfizer Inc.SOURCE:
PCT Int. Appl., 55 pp.DOCUMENT TYPE:
PatentLANGUAGE:
English

FAMILY ACC. NUM. COUNT: 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004029051	A1	20040408	WO 2003-1B4071	20030915
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GR, GM, GU, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, NO, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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AU 2003263455	A1	20040419	AU 2003-263455	20030915
BR 2003014759	A	20050726	BR 2003-14759	20030915
EP 1556381	A1	20050727	EP 2003-798295	20030915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006505625	T2	20060216	JP 2005-501939	20030915
US 2005004129	A1	20050106	US 2003-669794	20030923
PRIORITY AFFIL. INFO.:				
			GB 2002-22375	A 20020926
			GB 2002-23357	A 20021008
			US 2002-433220P	P 20021213
			WO 2003-1B4071	W 20030915

OTHER SOURCE(S):
G1 MARPAT 140:303665

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



AB The title compds. [I; WXY = (un)substituted 5-6 membered partially saturated or aromatic ring containing 0-3 N atoms wherein X = CH or N and Y = CH, or, when

X = CH, may also be N; R1 = a bond, alkylene, R2 = H, alkyl, cycloalkyl, etc.; R3 = H, alkyl, cycloalkyl, etc.; R4 = (un)substituted Ph, naphthyl, pyridyl; n = 0-2] which bind to the enzyme reverse transcriptase and are modulators, especially inhibitors thereof, were prepared and formulated.

Thus, reacting [4-(3,5-dichlorophenoxy)-3-methyl-1H-pyrazol-5-yl]acetic acid (preparation given) with 5,6,7,8-tetrahydro-[1,6]naphthyridine afforded II. The compds. I were tested for inhibition of HIV-1 reverse transcriptase enzyme (data were given for representative compds. I). The compds. I are useful in the treatment of a variety of disorders including those in which the inhibition of reverse transcriptase is implicated. Disorders of interest include those caused by Human Immunodeficiency Virus (HIV) and genetically related retroviruses, such as Acquired Immune Deficiency Syndrome (AIDS).

IT 676994-33-5P 676994-34-6P 676994-35-7P

676994-36-8P 676994-38-0P 676994-39-1P

676994-40-4P 676994-41-5P 676994-42-6P

676994-43-7P 676994-44-8P 676994-45-9P

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676994-50-6P 676994-51-7P 676994-52-8P

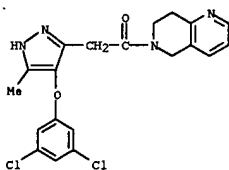
RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of pyrazole amides for treating HIV infections)

RN 676994-33-5 CAPLUS

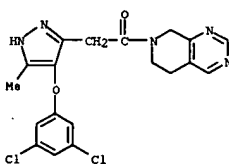
CN 1,6-Naphthyridine, 6-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



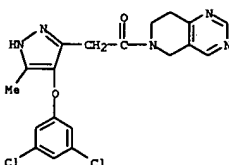
RN 676994-34-6 CAPLUS

CN Pyrido[3,4-d]pyrimidine, 7-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 676994-35-7 CAPLUS

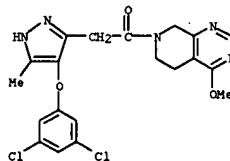
CN Pyrido[4,3-d]pyrimidine, 6-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 676994-36-8 CAPLUS

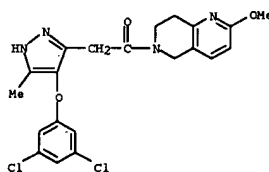
CN Pyrido[3,4-d]pyrimidine, 7-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro-4-methoxy- (9CI) (CA INDEX NAME)

L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



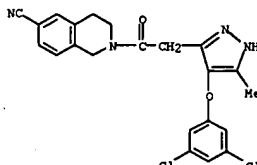
RN 676994-38-0 CAPLUS

CN 1,6-Naphthyridine, 6-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro-2-methoxy- (9CI) (CA INDEX NAME)



RN 676994-39-1 CAPLUS

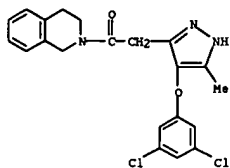
CN 6-Isoquinolinecarbonitrile, 2-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



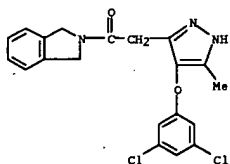
RN 676994-40-4 CAPLUS

CN Isoquinoline, 2-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

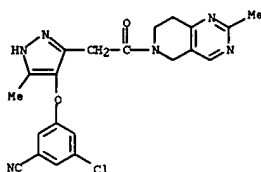
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 676994-41-5 CAPLUS
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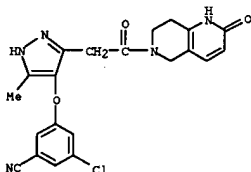


RN 676994-42-6 CAPLUS
CN Pyrido[4,3-d]pyrimidine, 6-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

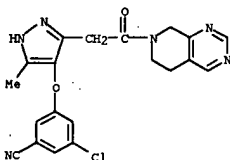


RN 676994-43-7 CAPLUS
CN Imidazo[1,2-a]pyrazine, 7-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro-2-(trifluoromethyl)- (9CI) (CA INDEX NAME)

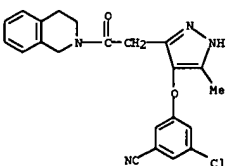
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 676994-48-2 CAPLUS
CN Pyrido[3,4-d]pyrimidine, 7-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

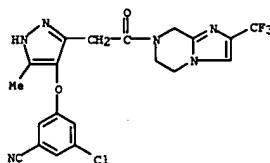


RN 676994-49-3 CAPLUS
CN Isoquinoline, 2-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)

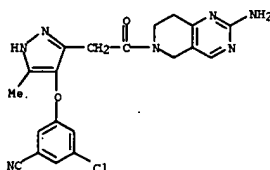


RN 676994-50-6 CAPLUS
CN Pyrido[4,3-d]pyrimidine, 6-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

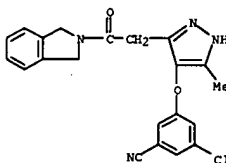
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 676994-44-8 CAPLUS
CN Pyrido[4,3-d]pyrimidin-2-amine, 6-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

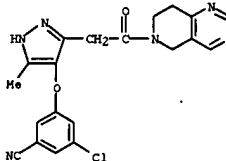


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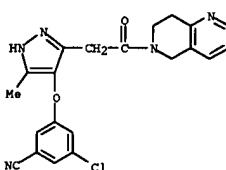


RN 676994-47-1 CAPLUS
CN 1,6-Naphthyridin-2(1H)-one, 6-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-

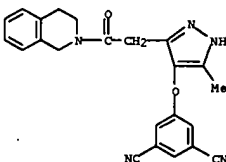
L6 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN (Continued)



RN 676994-51-7 CAPLUS
CN 1,6-Naphthyridine, 6-[[4-(3-chloro-5-cyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 676994-52-8 CAPLUS
CN Isoquinoline, 2-[[4-(3,5-dicyanophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-1,2,3,4-tetrahydro- (9CI) (CA INDEX NAME)



REFERENCE COUNT:

1

THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10669794 3/20/06

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:832763 CAPLUS

DOCUMENT NUMBER: 137:337884

TITLE:

INVENTOR(S): Jones, Lyn Howard; Mowbray, Charles Eric; Price, Davis Anthony; Selby, Matthew Duncan; Stuppel, Paul Anthony

PATENT ASSIGNER(S): Pfizer Limited, UK; Pfizer Inc.

SOURCE: PCT Int. Appl., 306 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002085860	A1	20021031	WO 2002-1B1234	20020404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CH, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2443449	AA	20021031	CA 2002-243449	20020404
EP 1377556	A1	20040107	EP 2002-708600	20020404
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
EE 200300497	A	20040216	EE 2003-497	20020404
BR 2002008811	A	20040309	BR 2002-8811	20020404
CN 1514828	A	20040721	CN 2002-811625	20020404
JP 2004531535	T2	20041014	JP 2002-583387	20020404
NZ 529403	A	20050624	NZ 2002-529403	20020404
US 2003100554	A1	20035523	US 2002-118512	20020405
ZA 2003007095	A	20040910	ZA 2003-7095	20030910
BG 108244	A	20050430	BG 2003-108244	20031008
NO 2003004523	A	20031209	NO 2003-4523	20031009
US 2006020012	A1	20060126	US 2005-157340	20050620

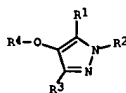
PRIORITY APPLN. INFO.:

OTHER SOURCE(S): MARPAT 137:337884

GI

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

(Continued)



AB This invention relates to pyrazole derivs. (shown as I, e.g. 2-amino-6-[[4-(3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazol-1-yl]methyl]-4(3H)-pyrimidinone) or pharmaceutically acceptable salts, solvates or derivative thereof, wherein R1 to R4 are defined below, and to processes for the preparation thereof, intermediates used in their preparation of, compns. containing

them and the uses of such derivs. The compds. of the present invention bind to the enzyme reverse transcriptase and are modulators, especially inhibitors thereof. As such the compds. of the present invention are useful in the treatment of a variety of disorders including those in which the inhibition of reverse transcriptase is implicated. Disorders of interest include those caused by Human Immunodeficiency Virus (HIV) and genetically related retroviruses, such as Acquired Immune Deficiency Syndrome (AIDS). In tests of inhibition of HIV-1 reverse transcriptase enzyme, the claimed compds. 2-amino-6-[[4-(3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazol-1-yl]methyl]-4(3H)-pyrimidinone, 3,5-dimethyl-4-[[3,5-diethyl-1-(2-hydroxyethyl)-1H-pyrazol-4-yl]oxyl]benzotrile and 1-(3-azetidinyl)-4-(3,5-dichlorophenoxy)-3,5-diethyl-1H-pyrazole had IC50 values of 39,000, 3,200 and 248 nM, resp. In 1: R1 is H, C1-C6 alkyl, C3-C7 cycloalkyl, Ph, benzyl, halo, -CN, -OR7, -CO2R10, -CONR5R10, R8 or R9. R2 is H, C1-C6 alkyl, C3-C6 alkenyl, C3-C6 alkynyl, C3-C7 cycloalkyl, C3-C7 cycloalkenyl, Ph, benzyl, R8 or R9; or, R1 and R2, when taken together, represent unbranched C3-C4 alkylene. R3 is H, C1-C6 alkyl, C3-C7 cycloalkyl, Ph, benzyl, halo, -CN, -OR7, -CO2R5, -CONR5R5, R8 or R9; R4 is Ph, naphthyl or pyridyl. Definitions of R5 and R7-R10 and addnl. specifications are given in the claims. Included are 283 claimed-compound preps. and 115 intermediate preps.

IT 473922-03-1P, 1-[[4-(3,5-Dichlorophenoxy)-3-methyl-1H-pyrazol-5-yl]acetyl]piperidine 473922-04-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of aryloxy pyrazole derivs. as reverse transcriptase inhibitors for treating HIV)

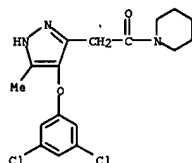
RN 473922-03-1 CAPLUS

CN Piperidine, 1-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-

(9CI) (CA INDEX NAME)

L6 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2006 ACS on STN

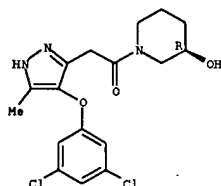
(Continued)



RN 473922-04-2 CAPLUS

CN 3-Piperidinol, 1-[[4-(3,5-dichlorophenoxy)-5-methyl-1H-pyrazol-3-yl]acetyl]-, (3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

8

THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

10669794 3/20/06

=> logoff

ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

10.68

183.11

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-1.50

-1.50

STN INTERNATIONAL LOGOFF AT 11:29:25 ON 01 APR 2006